* * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

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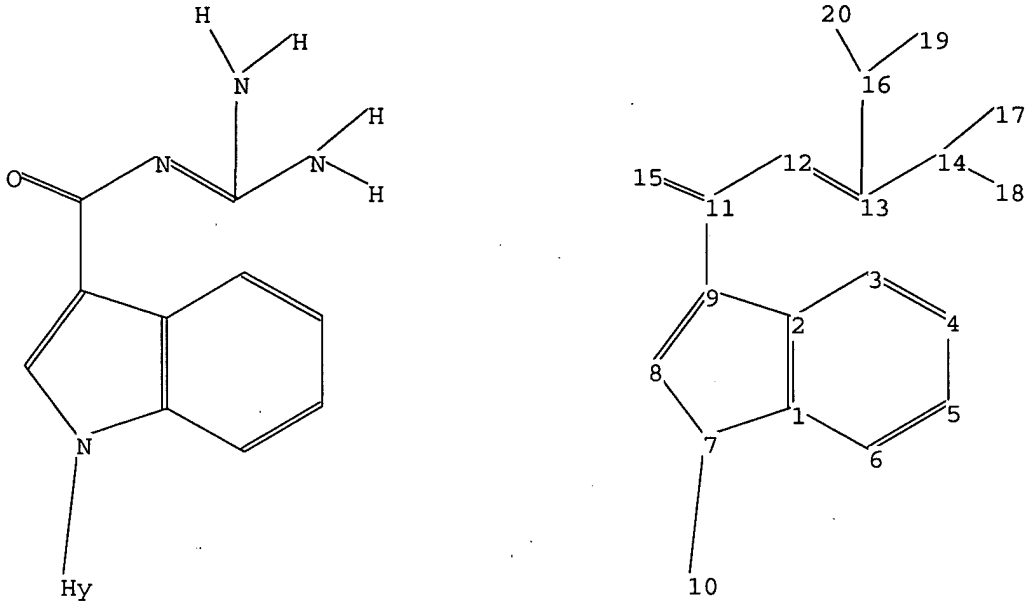

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10 11 12 13 14 15 16 17 18 19 20
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
7-10 9-11 11-12 11-15 12-13 13-14 13-16 14-17 14-18 16-19 16-20
ring bonds :
1-2 1-6 1-7 2-3 2-9 3-4 4-5 5-6 7-8 8-9
exact/norm bonds :
1-7 7-8 7-10 11-12 11-15 12-13 13-14 13-16
exact bonds :
2-9 8-9 9-11 14-17 14-18 16-19 16-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

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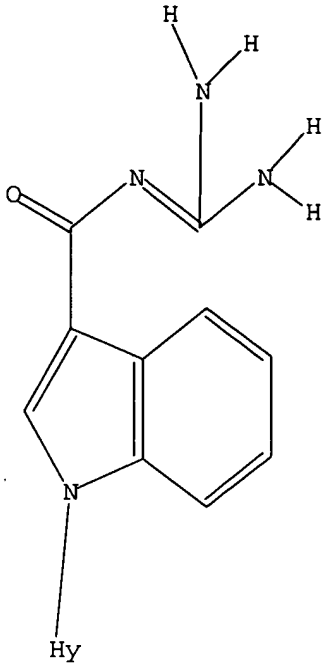
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> file ca


=> s l3
L4             2 L3

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L4 ANSWER 1 OF 2 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:128292 CA
TITLE: Preparation of 3-guanidinocarbonyl-1-heteroaryl-indoles for treating or preventing diseases which are related to NHE (sodium-proton exchanger)
INVENTOR(S): Kleemann, Heinz-Werner; Carry, Jean-Christophe; Desmazeau, Pascal; Mignani, Serge; Bouquerel, Jean; Genevois-Borella, Arielle; Ronan, Baptiste
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007480	A1	20040122	WO 2003-EP7024	20030702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2842526	A1	20040123	FR 2002-8949	20020716
CA 2492427	AA	20040122	CA 2003-2492427	20030702
EP 1523481	A1	20050420	EP 2003-763686	20030702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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PRIORITY APPLN. INFO.:			FR 2002-8949 A	20020716
			WO 2003-EP7024 W	20030702

OTHER SOURCE(S): MARPAT 140:128292
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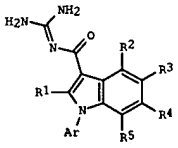


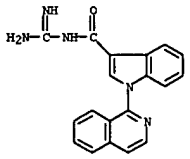
I

AB The title compds. [I; R1 = H, alkyl; R2 = H, alkyl, halo, etc.; R3, R4 =

L4 ANSWER 1 OF 2 CA COPYRIGHT 2005 ACS on STN (Continued)
H, alkyl, halo, alkoxy, OH; R5 = H, halo; Ar = 9-10 membered bicyclic heteroaryl having 1-3 N atoms], which are suitable for example as antiarrhythmic medicaments with cardioprotective component for infarction prophylaxis and infarction treatment and for the treatment of angina pectoris, were prepd. and formulated. They also inhibit in a preventive manner the pathophysiol. processes assocd. with the development of ischemia-induced damage, in particular in the triggering of ischemia-induced cardiac arrhythmias and of heart failure. E.g., a 4-step synthesis of I.HCl [R1-R5 = H; Ar = isoquinol-1-yl] which showed IC50 of 0.014 μM against NHE1 subtype, was given.

IT **649550-23-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-guanidinocarbonyl-1-heteroaryl-indoles for treating or preventing diseases which are related to sodium-proton exchanger (NHE))

RN 649550-23-2 CA
CN 1H-Indole-3-carboxamide, N-(aminoiminomethyl)-1-(1-isoquinolinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:128291 CA
TITLE: Preparation of 3-guanidinocarbonyl-1-heteroaryl-indoles for treating or preventing diseases which are related to sodium-proton exchanger (NHE)
INVENTOR(S): Kleemann, Heinz-Werner; Carry, Jean-Christophe; Desmazeau, Pascal; Mignani, Serge; Bouquerel, Jean; Genevois-Borella, Arielle; Ronan, Baptiste
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007479	A1	20040122	WO 2003-EP7023	20030702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2842525	A1	20040123	FR 2002-8948	20020716
FR 2842525	B1	20050513		
CA 2492421	AA	20040122	CA 2003-2492421	20030702
BR 2003012701	A	20050426	BR 2003-12701	20030702
EP 1530566	A1	20050518	EP 2003-763685	20030702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004214820	A1	20041028	US 2003-749631	20031231
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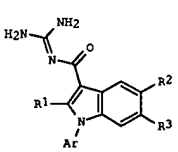
			WO 2003-EP7023 W	20030702

OTHER SOURCE(S): MARPAT 140:128291
GI



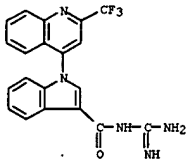
I

AB The title compds. [I; R1 = H, alkyl; R2, R3 = H, alkyl, halo, alkoxy, OH; Ar = (un)substituted 9-10 membered bicyclic heteroaryl having 1-3 N atoms] which are suitable for example as antiarrhythmic medicaments with a cardioprotective component for infarction prophylaxis and infarction treatment and for the treatment of angina pectoris, were prepared and

L4 ANSWER 2 OF 2 CA COPYRIGHT 2005 ACS on STN (Continued)
formulated. They also inhibit in a preventive manner the pathophysiol. processes assocd. with the development of ischemia-induced damage, in particular in the triggering of ischemia-induced cardiac arrhythmias and of heart failure. E.g., a 4-step synthesis of I.HCl [R1-R3 = H; Ar = 2-trifluoromethylquinolin-4-yl] which showed IC50 of 2.36 μM for the NHE-1 subtype, was given.

IT **649538-65-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-guanidinocarbonyl-1-heteroaryl-indoles for treating or preventing diseases which are related to sodium-proton exchanger (NHE))

RN 649538-65-8 CA
CN 1H-Indole-3-carboxamide, N-(aminoiminomethyl)-1-[2-(trifluoromethyl)-4-quinolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/749,630

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=> s l1 full
L5 4 SEA SSS FUL L1

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L5 ANSWER 1 OF 4 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:128292 MARPAT
TITLE: Preparation of 3-guanidinocarbonyl-1-heteroaryl-indoles for treating or preventing diseases which are related to NHE (sodium-proton exchanger)
INVENTOR(S): Kleemann, Heinz-Werner; Carry, Jean-Christophe; Desmazeau, Pascal; Mignani, Serge; Bouquerel, Jean; Genevois-Borella, Arielle; Ronan, Baptiste
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007480	A1	20040122	WO 2003-EP7024	20030702
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2842526	A1	20040123	FR 2002-8949	20020716
CA 2492427	AA	20040122	CA 2003-2492427	20030702
EP 1523481	A1	20050420	EP 2003-763686	20030702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005026989	A1	20050203	US 2003-749630	20031231
PRIORITY APPLN. INFO.:			FR 2002-8949	20020716
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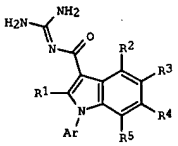
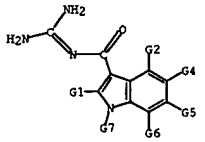


I

AB The title compds. [I; R1 = H, alkyl; R2 = H, alkyl, halo, etc.; R3, R4 = H, alkyl, halo, alkoxy, OH; R5 = H, halo; Ar = 9-10 membered bicyclic

L5 ANSWER 1 OF 4 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
heteroaryl having 1-3 N atoms], which are suitable for example as antiarrhythmic medicaments with cardioprotective component for infarction prophylaxis and infarction treatment and for the treatment of angina pectoris, were prepd. and formulated. They also inhibit in a preventive manner the pathophysiol. processes assocd. with the development of ischemia-induced damage, in particular in the triggering of ischemia-induced cardiac arrhythmias and of heart failure. E.g., a 4-step synthesis of I.HCl [R1-R5 = H; Ar = isoquinol-1-yl] which showed IC50 of 0.014 μM against NHE1 subtype, was given.

MSTR 1



G7 = quinolinyl
MPL: claim 1
NTE: and pharmaceutically acceptable salts
STE: and racemic mixtures, enantiomers, diastereomers, tautomers and mixtures

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 4 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:128291 MARPAT
TITLE: Preparation of 3-guanidinocarbonyl-1-heteroaryl-indoles for treating or preventing diseases which are related to sodium-proton exchanger (NHE)
INVENTOR(S): Kleemann, Heinz-Werner; Carry, Jean-Christophe; Desmazeau, Pascal; Mignani, Serge; Bouquerel, Jean; Genevois-Borella, Arielle; Ronan, Baptiste
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007479	A1	20040122	WO 2003-EP7023	20030702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2842525	A1	20040123	FR 2002-8948	20020716
FR 2842525	B1	20050513		
CA 2492421	AA	20040122	CA 2003-2492421	20030702
BR 2003012701	A	20050426	BR 2003-12701	20030702
EP 1530566	A1	20050518	EP 2003-763685	20030702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004214820	A1	20041028	US 2003-749631	20031231
PRIORITY APPLN. INFO.:			FR 2002-8948	20020716
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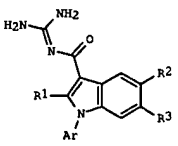
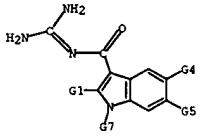


I

AB The title compds. [I; R1 = H, alkyl; R2, R3 = H, alkyl, halo, alkoxy, OH; Ar = (un)substituted 9-10 membered bicyclic heteroaryl having 1-3 N atoms] which are suitable for example as antiarrhythmic medicaments with a cardioprotective component for infarction prophylaxis and infarction treatment and for the treatment of angina pectoris, were prepared and formulated. They also inhibit in a preventive manner the pathophysiol.

L5 ANSWER 2 OF 4 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
processes assocd. with the development of ischemia-induced damage, in particular in the triggering of ischemia-induced cardiac arrhythmias and of heart failure. E.g., a 4-step synthesis of I.HCl [R1-R3 = H; Ar = 2-trifluoromethylquinolin-4-yl] which showed IC50 of 2.36 μM for the NHE-1 subtype, was given.

MSTR 1



MPL: claim 1
NTE: and pharmaceutically acceptable salts
STE: and racemic mixtures, enantiomers, diastereomers, tautomers and mixtures

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 4 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:58312 MARPAT
TITLE: Indoloylguanidine derivatives useful as inhibitors of Na+/H+ exchanger activity.
INVENTOR(S): Kitano, Masahumi; Nakano, Kazuhiro; Yagi, Hideki; Ohashi, Naohito; Kojima, Atsuyuki; Noguchi, Tsuyoshi; Miyagishi, Akira
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Company, Limited, Japan
SOURCE: Eur. Pat. Appl., 99 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 708091	A1	19960424	EP 1995-307409	19951018
EP 708091	A3	19960717		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
JP 08208602	A2	19960813	JP 1995-286772	19951006
CA 2160600	AA	19960419	CA 1995-2160600	19951016
CN 1136038	A	19961120	CN 1995-116169	19951017
CN 1067988	B	20010704		
TW 386991	B	20000411	TW 1995-84110984	19951018
PRIORITY APPLN. INFO.:			JP 1994-280025	19941018

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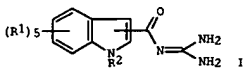

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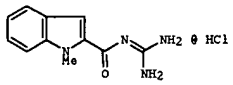
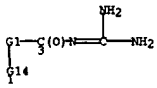


II

AB Indoloylguanidine derivs. I [R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, halo, NO2, acyl, CO2H, alkoxycarbonyl, aromatic group, (un)substituted OH, NH2, SO2NH2, etc.; R2 = H, (un)substituted alkyl, cycloalkyl, OH, alkoxy, etc.] and their pharmaceutically acceptable acid addition salts inhibit Na+/H+ exchanger activity, and are consequently useful in the treatment or prevention of diseases caused by increased Na+/H+ exchanger activity. For example, condensation of Me 1-methyl-2-indolecarboxylate in the presence of NaOMe at ≤ 130° gave, after chromatog. and salification, 30.8% title compound II. In an assay for inhibition of ischemia-and-reperfusion-induced cardiac arrhythmia in rats, II at 0.3 mg/kg reduced mortality from 76% (control) to 0%, whereas EIPA [5-(N-ethyl-N-isopropyl)amiloride] reduced mortality to only 44% at the same dose.

L5 ANSWER 3 OF 4 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MSTR 1



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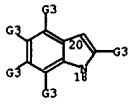
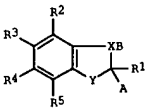


G14 = furyl (SO)
DER: or pharmaceutically acceptable acid addition salts
MPL: claim 1
NTE: also incorporates claim 14
NTE: substitution is restricted

L5 ANSWER 4 OF 4 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:256510 MARPAT
TITLE: Preparation of indolylcarbonylguanidines, benzofurylcarbonylguanidines, benzothienylcarbonylguanidines, benzimidazolylcarbonylguanidines, and related compounds as drugs and diagnostic agents.
INVENTOR(S): Lang, Hans Jochen; Weichert, Andreas; Schwark, Jan Robert; Scholz, Wolfgang; Albus, Udo; Crause, Peter
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 639573	A1	19950222	EP 1994-111765	19940728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4326005	A1	19950209	DE 1993-4326005	19930803
DE 4414316	A1	19951026	DE 1994-4414316	19940425
PRIORITY APPLN. INFO.:			DE 1993-4326005	19930803
			DE 1994-4414316	19940425

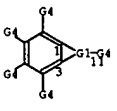
GI



I

AB Title compds. [I; X = N, CR6; Y = O, S, NR7; A, B = H; AB = bond; 1 of R1-R6 = CON:C(NH2)2, the other of R1-R6 = H, F, Cl, Br, iodo, alkyl, ≤2 of R1-R6 = cyano, NO2, N3, alkoxy, CF3, etc.; R7 = H, alkyl, alkenyl, etc.], were prepared Thus, 3-chloro-5-fluoro-1-methylindolyl-2-carboxylic acid guanidide hydrochloride (synthetic outline given) inhibited rabbit erythrocyte Na+/H+-exchanger with IC50 = 3 + 10-8 M.

MSTR 1



G1 = 8-1 9-11 10-3

L5 ANSWER 4 OF 4 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G2 = 12

G3 = 14

G4 = (1) 25

G7 = 26

G17 = pyridyl
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates claim 6

10/749,630

```
=> d his

     (FILE 'HOME' ENTERED AT 14:14:15 ON 16 JUN 2005)

     FILE 'REGISTRY' ENTERED AT 14:14:20 ON 16 JUN 2005
L1              STRUCTURE UPLOADED
L2            6 S L1 SAM
L3           72 S L1 FULL

     FILE 'CA' ENTERED AT 14:15:04 ON 16 JUN 2005
L4            2 S L3

     FILE 'MARPAT' ENTERED AT 14:15:16 ON 16 JUN 2005
L5            4 S L1 FULL

=>

---Logging off of STN---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Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 14:15:44 ON 16 JUN 2005
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